The claims have been amended to delete those compounds wherein B is hydrogen, in order to avoid any possible overlap with the subject matter being claimed in Applicants' copending application Serial No. 09/368,866, filed August 5, 1999 (Attorney Docket No. 13/068).

The claims have also been amended to address the various informalities noted by the Examiner in the Office Action, as discussed in further detail below. Support for these amendments is as discussed below.

I. Sequence Listing

The Examiner noted that the application contains sequence disclosures that are encompassed by the definitions for amino acid sequences set forth in 37 CFR 1.821 and indicated that the application fails to comply with the requirements of 37 CFR 1.821 to 1.825 regarding sequence disclosures (paper and computer readable form sequence listings, etc.).

In response, Applicants have reviewed the entire specification for the amino acid sequences that are required to be listed under 37 CFR 1.821 and have attached the required paper and computer readable form (CRF) copies of the Sequence Listing in accordance with the Rules. Attached also is the required Statement Under 37 CFR 1.821 (f) confirming that the paper and CRF copies of the Sequence Listing are identical. Applicants believe that they are now in compliance with the requirements of 37 CFR 1.821 to 1.825 regarding sequence disclosures and withdrawal of this objection is respectfully requested.

II. Rejection Under 35 U.S.C. 112, first paragraph

At pages 3 to 4 of the Office Action, the Examiner maintains this rejection of record. The Examiner argues that the term "pharmaceutically acceptable" in the claims implies an assertion of in-vivo therapeutic efficacy allegedly not demonstrated in the application.

Applicants strongly traverse for the reasons already of record. The term "pharmaceutically acceptable" in the claims is necessary to define the types of salts, esters, carrier media or auxiliary agents that are covered by the claimed invention, and it is a recognized term of art that simply means "non toxic." In order to advance the prosecution of this case, however, Applicants have replaced the term "pharmaceutically acceptable" in the claims with the equivalent term -- non toxic --, support being found in the application as filed, e.g. page 35, lines 19-21, and inherently found in the original term "pharmaceutically acceptable." Since the terms are equivalent, the scope of the claims has not been narrowed by this amendment.

In view of the above, withdrawal of this rejection under 35 USC 112, first paragraph, is respectfully requested.

III. Objection to Bracketing/Underlining

At page 4 of the Office Action, the Examiner objects to the previous amended claims 1, 40, 45, 59 and 60, for containing underlining or bracketing intended to appear in the printed patent or are properly part of the claimed material and not intended to indicate changes in the claims.

As provided under the amended Rule 37 CFR 1.121, Applicants are presenting clean copies of amended claims 1, 40, 45, 59 and 60 (in which claims 40, 59 and 60 are unchanged from the

previous amendment filed November 22, 2000). As such, Applicants submit that it is clear that any bracketing or underlining appearing in these clean claim copies are intended to appear in the printed patent and are properly part of the claimed material. Withdrawal of this objection is respectfully requested.

IV. Rejection Under 35 U.S.C. 112, second paragraph

At page 5 of the Office Action, various claims are rejected under 35 USC 112, second paragraph, as being indefinite because:

(1) the term "Het" is undefined in claim 1;

(2) a period is used in "Tab.5" in claim 76; and

(3) Claim 59 is drawn to a mixture of compounds, therefore the dependency upon Claim 45 is allegedly improper since claim 45 is drawn to a single compound. Also, the term "racemic mixture of diastereoisomers" in claim 59 is allegedly superfluous under the circumstances.

In response to item (1), a definition for "Het" has been added to Claim 1, support being found in the application as filed, e.g., page 12, lines 11-22.

In response to item (2), the noted period has been deleted from claim 76.

In response to item (3), Applicants again traverse for the reasons of record, repeated below:

> The Examiner indicates that claim 59 is drawn to a mixture of compounds whereas the parent claim 45 is drawn to a single compound. Applicants traverse. Claim 59 is drawn to mixtures of diastereoisomers of the same compound, also known as a "racemate" or a

"racemic mixture", which is clearly covered by "racemates" already recited in parent claim 45, line 1. The Examiner also alleges that the language "racemic mixture of diastereoisomers" is superfluous and that "diastereoisomers" alone would be sufficient. Applicants do not agree, since "diastereoisomers" alone would not necessarily signify that there is a racemic mixture or racemate, i.e., having no optical activity.

In order to advance the prosecution, however, Applicants have amended claim 45 to replace the term "racemate" with the equivalent language "racemic mixture of diastereoisomers or racemic mixture of optical isomers". Thus, claim 45 now provides clear antecedent basis for "racemic mixture of diastereoisomers" recited in claim 59.

In view of the above, withdrawal of this rejection is respectfully requested.

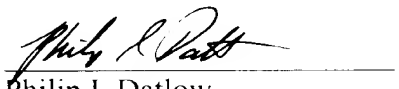
Claims 45 has also been amended to correct an informality in claim dependency.

V. Conclusion

In view of the above amendments and remarks, Applicants respectfully submit that this application is now in condition for allowance and earnestly request such action.

If any points remain at issue which can best be resolved by way of a telephonic or personal interview, the Examiner is kindly requested to contact the undersigned attorney at the telephone number listed below.

Respectfully submitted,



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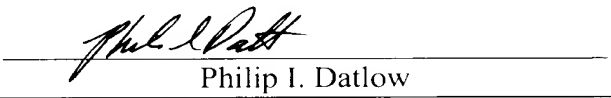


Philip I. Datlow

AMENDED SPECIFICATION SHOWING THE CHANGES MADE

At page 4, lines 20 through 23; replace the paragraph with the following:

We investigated peptides potentially inhibitory to the NS3 protease. The discovery that the N-terminal cleavage product **(Ac-D-D-I-V-P-C-OH)** [SEQ. ID NO. 1] of an analog of a natural substrate of the NS3 protease was inhibitory led us to the peptide analogs of the present invention.

At page 106, lines 1 through 11; replace the paragraph with the following:

The substrate used for the HCV NS3 protease radiometric assay, DDIVPC-SMSYTW [SEQ. ID NO. 2], is cleaved between the cysteine and the serine residues by the enzyme. The sequence DDIVPC-SMSYTW [SEQ. ID NO. 2] corresponds to the NS5A/NS5B natural cleavage site in which the cysteine residue in P2 has been substituted for a proline. The peptide substrate DDIVPC-SMSYTW [SEQ. ID NO. 2] and the tracer biotin-DDIVPC-SMS[^{125}I-Y]TW [SEQ. ID NO. 3] were incubated with the recombinant NS3 protease in the absence or in the presence of inhibitors. The separation of substrate from products was performed by adding avidin-coated agarose beads to the assay mixture followed by filtration. The amount of SMS[^{125}I-Y]TW [SEQ. ID NO. 4] product found in the filtrate (with or without inhibitor) allowed for the calculation of the percentage of substrate conversion and of the percentage of inhibition.

At page 106, lines 19 through 25; replace the paragraph with the following:

Substrate: DDIVPC-SMSYTW [SEQ. ID NO. 2], 25 μM final concentration (from a 2 mM stock solution in DMSO stored at -20°C to avoid oxidation).
Tracer: reduced mono-iodinated substrate(biotin-DDIVPC-SMS[^{125}I-Y]TW) [SEQ. ID NO. 3] (≈ 1 nM final concentration)
HCV NS3 protease type 1b, 25 nM final concentration (from a stock solution in 50 mM sodium phosphate, pH 7.5, 10% glycerol, 300 mM NaCl, 5 mM DTT, 0.01% NP-40).

At page 107, lines 18 through 32; replace the paragraph with the following:

The enzyme was cloned, expressed and prepared according to the protocol described in Example 37. The enzyme was stored at -80°C, thawed on ice and diluted just prior to use in the assay buffer containing the NS4A cofactor peptide. The substrate used for the NS3 protease/ NS4A cofactor peptide radiometric assay, DDIVPC-SMSYTW [SEQ. ID NO. 2], is cleaved between the cysteine and the serine residues by the enzyme. The sequence DDIVPC-SMSYTW [SEQ. ID NO. 2] corresponds to the NS5A/NS5B natural cleavage site in which the cysteine residue in P2 has been substituted for a proline. The peptide substrate DDIVPC-SMSYTW [SEQ. ID NO. 2] and the tracer biotin-DDIVPC-SMS[^{125}I-Y]TW [SEQ. ID NO. 3] are incubated with the recombinant NS3 protease and the NS4A peptide cofactor KKGSVVIVGRIILSGRK [SEQ. ID NO. 5] (molar ratio enzyme: cofactor 1:100) in the absence or presence of inhibitors. The separation of substrate from products is performed by adding avidin-coated agarose beads to the assay mixture followed by filtration. The amount of SMS[^{125}I-Y]TW [SEQ. ID NO. 4] product found in the filtrate allows for the calculation of the percentage of substrate conversion and of the percentage of inhibition.

At page 108, lines 4 through 14; replace the paragraph with the following:

Assay buffer: 50 mM Tris HCl, pH 7.5, 30% (*w/v*) glycerol, 1 mg/mL BSA, 1 mM TCEP (TCEP added just prior to use from a 1 M stock solution in water).
Substrate: DDIVPCSMSYTW [SEQ. ID NO. 2], 25 μM final concentration (from a 2 mM stock solution in DMSO stored at -20°C to avoid oxidation).
Tracer: reduced mono iodinated substrate biotin DDIVPC SMS[^{125}I Y]TW [SEQ. ID NO. 3] (~1 nM final concentration).
HCV NS3 protease type 1b, 25 nM final concentration (from a stock solution in 50 mM sodium phosphate, pH 7.5, 10% glycerol, 300 mM NaCl, 5 mM DTT, 0.01% NP-40).
NS4A Cofactor peptide: KKGSVVIVGRIILSGRK [SEQ. ID NO. 5], 2.5 μM final concentration (from a 2 mM stock solution in DMSO stored at -20°C).

At page 109, line 10 through page 110, line 8; replace the paragraph with the following:

The NS2-NS5B-3' non coding region was cloned by RT-PCR into the pCR®3 vector (Invitrogen) using RNA extracted from the serum of an HCV genotype 1b infected individual (provided by Dr. Bernard Willems, Hôpital St-Luc, Montréal, Québec, Canada). The NS3-NS4A DNA region was then subcloned by PCR into the pFastBac™ HTa baculovirus expression vector (Gibco/BRL). The vector sequence includes a region encoding a 28-residue N-terminal sequence which contains a hexahistidine tag. The Bac-to-Bac™ baculovirus expression system (Gibco/BRL) was used to produce the recombinant baculovirus. The full length mature NS3 and NS4A heterodimer protein (His-NS3-NS4AFL) was expressed by infecting 10^6 Sf21 cells/mL with the recombinant baculovirus at a multiplicity of infection of 0.1-0.2 at 27°C. The infected culture was harvested 48 to 64 h later by centrifugation at 4°C. The cell pellet was homogenized in 50mM $NaPO_4$, pH 7.5, 40% glycerol (w/v), 2mM β-mercaptoethanol, in presence of a cocktail of protease inhibitors. His-NS3-NS4AFL was then extracted from the cell lysate with 1.5% NP-40, 0.5% Triton X-100, 0.5M NaCl, and a DNase treatment. After ultracentrifugation, the soluble extract was diluted 4-fold and bound on a Pharmacia Hi-Trap Ni-chelating column. The His-NS3-NS4AFL was eluted in a >90% pure form (as judged by SDS-PAGE), using a 50 to 400 mM imidazole gradient. The His-NS3-NS4AFL was stored at -80° C in 50 mM sodium phosphate, pH 7.5, 10% (w/v) glycerol, 0.5 M NaCl, 0.25 M imidazole, 0.1% NP-40. It was thawed on ice and diluted just prior to use.
The protease activity of His-NS3-NS4AFL was assayed in 50 mM Tris-HCl, pH 8.0, 0.25 M sodium citrate, 0.01% (w/v) n-dodecyl-β-D-maltoside, 1 mM TCEP. Five (5) μM of the internally quenched substrate anthranilyl-DDIVPAbu[C(O)-O]-AMY(3-NO_2)TW-OH [SEQ. ID NO. 6] in presence of various concentrations of inhibitor were incubated with 1.5 nM of His-NS3-NS4AFL for 45 min at 23°C. The final DMSO concentration did not exceed 5.25%. The reaction was terminated with the addition of 1M MES, pH 5.8. Fluorescence of the N-terminal product was monitored on a Perkin-Elmer LS-50B fluorometer equipped with a 96-well plate reader (excitation wavelength: 325 nm; emission wavelength: 423 nm). A non-linear curve fit using the

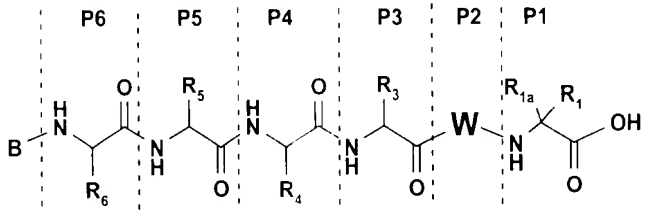
Hill model was then applied to the % inhibition-concentration data and 50% effective concentration (IC_{50}) was calculated through the use of SAS (Statistical Software System, SAS Institute Inc., Cary, N.C.).

At page 111, lines 12 through 29; replace the paragraph with the following:

The specificity of the compounds was determined against a variety of serine proteases: human leukocyte elastase, porcine pancreatic elastase and bovine pancreatic α-chymotrypsin and one cysteine protease: human liver cathepsin B. In all cases a 96-well plate format protocol using a colorimetric p-nitroaniline (pNA) substrate specific for each enzyme was used. Each assay included a 1 h enzyme-inhibitor pre-incubation at 30°C followed by addition of substrate and hydrolysis to ≈30% conversion as measured on a UV Thermomax® microplate reader. Substrate concentrations were kept as low as possible compared to K_M to reduce substrate competition. Compound concentrations varied from 300 to 0.06 μM depending on their potency. The final conditions for each assay were as follows:
50mM Tris-HCl pH 8, 0.5 M Na_2SO_4, 50 mM NaCl, 0.1 mM EDTA, 3% DMSO, 0.01% Tween-20 with:
[100 μM Succ-AAPF-pNA [SEQ. ID NO. 7] and 250 pM α-chymotrypsin], [133 μM Succ-AAA-pNA and 8 nM porcine elastase], [133 μM Succ-AAV-pNA and 8 nM leukocyte elastase]; or
[100 mM $NaHPO_4$ pH 6, 0.1 mM EDTA, 3% DMSO, 1mM TCEP, 0.01% Tween-20, 30 μM Z-FR-pNA and 5 nM cathepsin B (the stock enzyme was activated in buffer containing 20 mM TCEP before use)].

At pages 114 through 126, replace Tables 1 through 3 with the following amended Tables 1 to 3:

TABLE 1

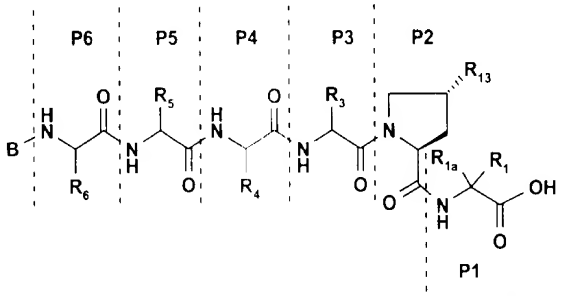


Tab. 1 Comp. #	B	P6	P5	P4	P3	W	P1	IC_{50} (μM)	HLE (μM)	PPE (μM)	Other (μM)	MS (MH^+)	AAA (%)	SEQ ID NO.
101	Ac	Asp	Asp	Ile	Val	Pro	Cys	46				703	113	8
102	Ac	Glu	Asp	Ile	Val	Pro	Cys	59				717	85.4 ± 1.6	9
103	DAD	---	Asp	Ile	Val	Pro	Cys	26				646	100.3 ± 1.8	10
104	Ac	Asp	D-Asp	Ile	Val	Pro	Cys	8.5				703	113.85 ± 4.9	-
105	Ac	Asp	D-Glu	Ile	Val	Pro	Cys	1.5				717	95.8 ± 0.8	-
106	Ac	Asp	Glu	Ile	Val	Pro	Cys	16*				717	98.8 ± 2.6	11
107	Ac	Asp	Val	Ile	Val	Pro	Cys	85*				687	85.9 ± 1.1	12
108	Ac	Asp	Tbg	Ile	Val	Pro	Cys	31				701	101.15 ± 1.65	13
109	Ac	Asp	Asp	Val	Val	Pro	Cys	80*				689	99.2 ± 5	14
110	Ac	Asp	Asp	Chg	Val	Pro	Cys	24*				729	102.95 ± 3.65	15

Tab. 1 Comp. #	B	P6	P5	P4	P3	W	P1	IC_{50} (μM)	HLE (μM)	PPE (μM)	Other (μM)	MS (MH^+)	AAA (%)	SEQ ID NO.
111	Ac	Asp	Asp	Tbg	Val	Pro	Cys	79				703		16
112	Ac	Asp	Asp	Leu	Val	Pro	Cys	92*				703	109.7 ± 6.9	17
113	Ac	Asp	Asp	Ile	Ile	Pro	Cys	56*				717	72.4 ± 2.4	18
114	Ac	Asp	Asp	Ile	Chg	Pro	Cys	50*				743	103.65 ± 3.8	19
115	Ac	Asp	Asp	Ile	Val	Abu	Cys	58*				691	59.4 ± 2.85	20
116	Ac	Asp	Asp	Ile	Val	Leu	Cys	16*				719	95.4 ± 1.5	21
117	Ac	Asp	Asp	Ile	Val	Phe	Cys	25*				753	99.6	22
118	Ac	Asp	Asp	Ile	Val	Val	Cys	133*				705	96.8 ± 1	23
119	Ac	Asp	Asp	Ile	Val	Ile	Cys	90				719	87.0 ± 3.0	24
120	Ac	Asp	Asp	Ile	Val	Ala	Cys	76*				677	N.S.	25
121	Ac	Asp	Asp	Ile	Val	Hyp(4-Bn)	Cys	1.7				809	101	26
122	Ac	Asp	Asp	Ile	Val	Pro	Abu	315				685	91.0 ± 4.5	27
123	Ac	Asp	Asp	Ile	Val	Pro	Nva	220	>300	>300		699	107.6	28
124	Ac	Asp	Asp	Ile	Val	Pro	AlGly	210				697	106.3 ± 8.2	29
125	Ac	Asp	Asp	Ile	Val	Pro	Acpe	210				711	94.02 ± 3.19	30
126	Ac	Asp	Asp	Ile	Val	Pro	Acca	45				683	100.2	31

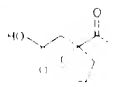
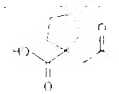
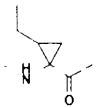
Tab. 1 Comp. #	B	P6	P5	P4	P3	W	P1	IC_{50} (μM)	HLE (μM)	PPE (μM)	Other (μM)	MS (MH^+)	AAA (%)	SEQ ID NO.
127	Ac	Asp	Asp	Ile	Val	Pip	Nva	605*				713	107	32
128	Ac	Asp	D-Glu	Ile	Val	Pro	Nva	7.4				713	100.9 ± 3.6	-
129	Ac	Asp	Tbg	Ile	Val	Pro	Nva	270*				697	99.8 ± 0.6	33
130	DAD	---	Asp	Ile	Val	Pro	Nva	123				642	107	34
131	Ac	Asp	Glu	Chg	Glu	Glu	Cys	24						35
132	Ac	Asp	D-Glu	Chg	Glu	Glu	Acca	36						-
133	Ac	Asp	Glu	Chg	Val	Glu(OBn)	Acca	39						36

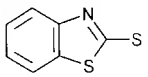
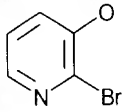
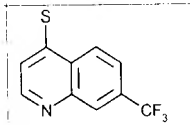
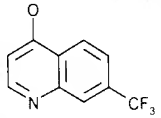
TABLE 2

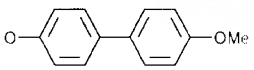
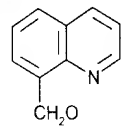
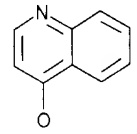
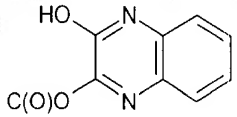
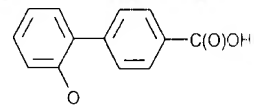
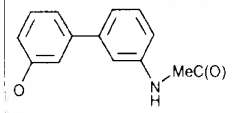


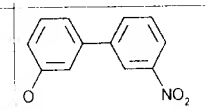
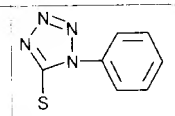
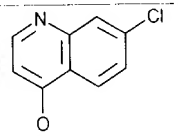
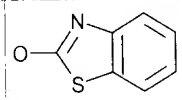
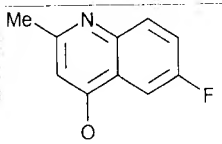
Tab.2 Comp.	B	P6	P5	P4	P3	R_{13}	P1	IC_{50} (μM)	HLE (μM)	PPE (μM)	Other (μM)	MS (MH^+)	AAA (%)	SEQ ID NO.
201	Ac	Asp	Asp	Ile	Val	O-Bn	Nva	7.2				805	107	37
202	Ac	Asp	D-Val	Ile	Val	O-Bn	Nva	0.93				789	103	-
203	Ac	Asp	D-Glu	Ile	Val	O-Bn	Nva	0.6	>300	>300	>300**	819	96.3 ± 1.7	-
204	Ac	Asp	Asp	Ile	Val	o-tolyl-methoxy	Nva	9.4*				819	95	38
205	Ac	Asp	Asp	Ile	Val	m-tolyl-methoxy	Nva	6.7*				819	98.7	39
206	Ac	Asp	Asp	Ile	Val	p-tolyl-methoxy	Nva	6.4*				819	101.9	40
207	Ac	Asp	Asp	Ile	Val	1-$NpCH_2O$	Nva	0.39				855	112	41
208	Ac	Asp	Asp	Ile	Val	2-$NpCH_2O$	Nva	0.71				855	104	42
209	Ac	Asp	Asp	Ile	Val	4-tert-butyl-phenyl)-methoxy	Nva	2.6				861	114	43

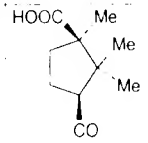
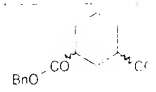
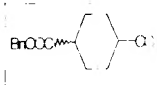
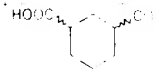
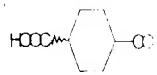
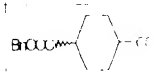
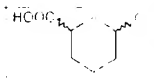
Tab.2 Comp.	B	P6	P5	P4	P3	R_{13}	P1	IC_{50} (μM)	HLE (μM)	PPE (μM)	Other (μM)	MS (MH^+)	AAA (%)	SEQ ID NO.
210	Ac	Asp	D-Glu	Chg	Val	O-Bn	Cys	0.033	>300	>300	>300	849	101.7 ± 5.4	-
211	Ac	Asp	D-Glu	Chg	Val	O-Bn	Nva	0.12				845	93.4 ± 2	-
212	Ac	Asp	D-Glu	Ile	Val	O-Bn	Acca	0.21	>300	>300		803	99.4 ± 2	-
213	Ac	Asp	D-Glu	Ile	Val	2-NpCH_2O	Nva	0.036				869	101.8	-
214	Ac	Asp	D-Glu	Chg	Val	2-NpCH_2O	Nva	0.028	>300	>300	>300 >300**	895	104.1	-
215	Ac	Asp	D-Glu	Chg	Val	1-NpCH_2O	Acca	0.014				879	---	-
216	Ac	Asp	Asp	Ile	Val	Bn	Nva	60				789	100.6 ± 0.8	44
217	Ac	Asp	Asp	Ile	Val	Ph$(CH_2)_3$	Nva	3				818	94.6 ± 3	45
218	Ac	Asp	D-Glu	Ile	Val	O-Bn	Nva	0.49				910	111.2	-
219	Ac	---	Asp	Ile	Val	1-NpCH_2O	Nva	2.3				740	95.7	46
220	DAD	---	---	N(Me)Ile	Val	1-NpCH_2O	Nva	31				697	---	-
221	DAD	---	---	Ile	Val	1-NpCH_2O	Nva	22				683		-
222	DAE	---	---	Ile	Val	1-NpCH_2O	Nva	20				698	N.S.	-

Tab.2 Comp.	B	P6	P5	P4	P3	R_{13}	P1	IC_{50} (μM)	HLE (μM)	PPE (μM)	Other (μM)	MS (MH^+)	AAA (%)	SEQ ID NO.
223		---	---	Ile	Val	1-NpCH_2O	Nva	51				737	N.S.	-
224		---	---	Ile	Val	1-NpCH_2O	Nva	56				737	N.S.	-
225	Ac	---	---	Ile	Val	1-NpCH_2O	Nva	45				929	---	-
226	DAE	---	---	Chg	Val	1-NpCH_2O	Acca	0.76				707	---	-
227	Ac	---	---	Chg	Val	1-NpCH_2O	Acca	3	>600			635		-
228	Ac	---	---	Chg	Val	O-Bn		35	>600			613.4		-
230	Ac	Asp	Asp	Ile	Val	$Ph(CH_2)_3$	Nva	3.3				818		47
231	Ac	---	---	Chg	Chg	1-NpCH_2O	Acca	2.6				675.4		-
232	$AcOCH_2$-C(O)	---	---	Chg	Chg	1-NpCH_2O	Acca	1.4						-
233	Ac	Asp	Glu	Ile	Val	(3I-Ph) CH_2O	Acca	0.14				929.2		48
234	Ac	---	---	Chg	Chg	O-Bn	Acca	41						-
235	Boc	---	---	Chg	Chg	1-NpCH_2O	Acca	12						-

Tab.2 Comp.	B	P6	P5	P4	P3	R_{13}	P1	IC_{50} (μM)	HLE (μM)	PPE (μM)	Other (μM)	MS (MH^+)	AAA (%)	SEQ ID NO.
236	Ac	---	Gly	thioxo-Ile	Val	1-NpCH_2O	Nva	4.0				720 (M+Na)		=
237	DAE	---	---	Ile	Val	1-NpCH_2O	Acca	5.5				598 (M+Na)		=
238	Ac	---	---	Chg	Val	(4Br-Ph)O	Acca	27	195					=
239	Ac	---	---	Chg	Val	(2Br-Ph)O	Acca	27						=
240	Ac	---	---	Chg	Val	(3Br-Ph)O	Acca	42						=
241	Ac	---	---	Chg	Val		Acca	18						=
242	Ac	---	---	Chg	Val	(4Br-Ph)S	Acca	36						=
243	Ac	---	---	Chg	Val		Acca	35						=
244	Ac	---	---	Chg	Val		Acca	10						=
245	Ac	---	---	Chg	Val		Acca	5.0						=

Tab.2 Comp.	B	P6	P5	P4	P3	R_{13}	P1	IC_{50} (μM)	HLE (μM)	PPE (μM)	Other (μM)	MS (MH^+)	AAA (%)	SEQ ID NO.
246	Ac	---	---	Chg	Val		Acca	33						-
247	Ac	Asp	Asp	Ile	Val	$Ph(CH_2)_2$	Nva	10				803.6	119±1	49
248	Ac	---	---	Chg	Chg		Acca	3.6						-
249	Ac	---	---	Chg	Val	(4I-Ph)O	Acca	9.7						-
250	Ac	---	---	Chg	Val		Acca	4.5						-
251	Ac	---	---	Chg	Val		Acca	13						-
252	Ac	---	---	Chg	Val	1-NpCH_2O	Nva	20				651.4	91±1	-
253	Ac	---	---	Chg	Val		Acca	28						-
254	Ac	---	---	Chg	Val		Acca	5.1						-

Tab.2 Comp.	B	P6	P5	P4	P3	R_{13}	P1	IC_{50} (μM)	HLE (μM)	PPE (μM)	Other (μM)	MS (MH^+)	AAA (%)	SEQ ID NO.
255	Ac	---	---	Chg	Val		Acca	4.5						=
256	Ac	---	---	Chg	Val		Acca	11						=
257	Ac	---	---	Chg	Val		Acca	2.2	>300					=
258	Ac	---	---	Chg	Val		Acca	16						=
259	Ac	---	---	Chg	Val		Acca	28						=
260	Ac	Asp	D-Glu	Ile	Val	O-Bn	Cys	0.18						=
261	Ac	---	---	Chg	Val	O-Bn	Cys	28						=
262	Ac	---	---	Ile	Val	1-$NpCH_2O$	Acca	40				631 (M+Na)		=

Tab.2 Comp.	B	P6	P5	P4	P3	R_{13}	P1	IC_{50} (μM)	HLE (μM)	PPE (μM)	Other (μM)	MS (MH^+)	AAA (%)	SEQ ID NO.
263		---	---	Ile	Val	1-NpCH_2O	Acca	17				771 (M+Na)		-
264		---	---	Ile	Val	1-NpCH_2O	Acca	6.4				811		-
265		---	---	Ile	Val	1-NpCH_2O	Acca	10				811		-
266		---	---	Ile	Val	1-NpCH_2O	Acca	9.7				721.4		-
267		---	---	Ile	Val	1-NpCH_2O	Acca	12				721.4		-
268	Ac	---	---	Chg	Val	(3Br-Ph)CH_2O	Acca	24				665.1		-
269		---	---	Chg	Val	1-NpCH_2O	Acca	2.2				835.5 (M-H)		-
270		---	---	Chg	Val	1-NpCH_2O	Acca	2.0				745 (M-H)		-

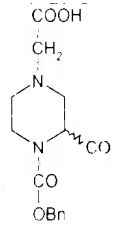
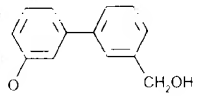
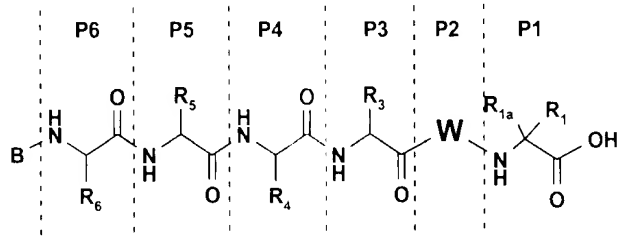
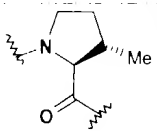
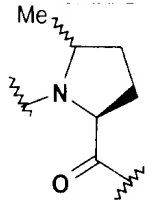
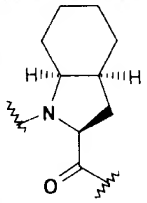
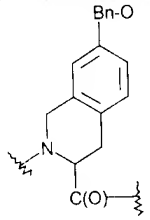
Tab.2 Comp.	B	P6	P5	P4	P3	R_{13}	P1	IC_{50} (μM)	HLE (μM)	PPE (μM)	Other (μM)	MS (MH^+)	AAA (%)	SEQ ID NO.
271		---	---	Chg	Val	1-NpCH_2O	Acca	3.8						-
272	Ac	---	---	Chg	Val	(3,5-Br_2-Ph)CH_2O	Acca	27						-
273	Ac	Asp	Asp	Ile	Val	H	Nva	17.5						50
274	Ac	Asp	D-Val	Ile	Val	H	Cys	7.6						-
275	Ac	---	---	Chg	Val		Acca	6.2						-

TABLE 3

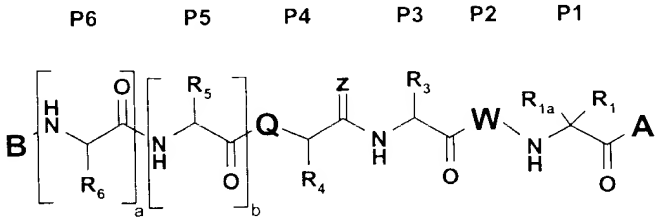


TAB 3 Cpd#	B	P6	P5	P4	P3	W	P1	IC_{50} (μM)	HLE (μM)	PPE (μM)	Other (μM)	MS (MH^+)	AAA (%)	SEQ ID NO.
301	Ac	Asp	Asp	Ile	Val		Nva	98*				713	99.8	51
302	Ac	Asp	Asp	Ile	Val		Nva	89*				713	102	52

TAB 3 Cpd#	B	P6	P5	P4	P3	W	P1	IC_{50} (μM)	HLE (μM)	PPE (μM)	Other (μM)	MS (MH^+)	AAA (%)	SEQ ID NO.
303	Ac	Asp	Asp	Ile	Val		Nva	44*				753	104.4	53
304	Ac	---	---	Chg	Val		Acca	1.1						

AMENDED CLAIMS SHOWING THE CHANGES MADE

1. (Thrice Amended) A compound of formula I or the racemates, diastereoisomers or optical isomers thereof:



(I)

wherein Q is CH_2 or N-Y wherein Y is H or C_{1-6} alkyl;

a) when Q is CH_2, a is 0, b is 0, and B is an amide derivative of formula $R_{11a}N(R_{11b})$-C(O)- wherein R_{11a} is H; C_{1-10} alkyl; C_6 aryl; C_{7-10} alkylaryl; C_{3-7} cycloalkyl or C_{4-8} (alkylcycloalkyl) optionally substituted with carboxyl; or heterocycle-C_{1-6} alkyl;

and R_{11b} is C_{1-6} alkyl substituted with carboxyl, (C_{1-6} alkoxy)carbonyl or phenylmethoxycarbonyl; or C_{7-16} aralkyl substituted on the aromatic portion with carboxyl, (C_{1-6} alkoxy)carbonyl or phenylmethoxycarbonyl;

or R_{11a} and R_{11b} are joined to form a 3 to 7-membered nitrogen-containing ring optionally substituted with carboxyl or (C_{1-6} alkoxy) carbonyl;

or

b) when Q is N-Y, a is 0 or 1, b is 0 or 1, and

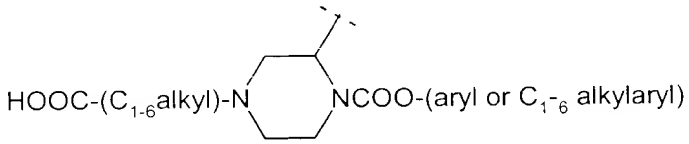
B is H, an acyl derivative of formula R_{11}-C(O)- or a sulfonyl of formula R_{11}-SO_2 wherein

R_{11} is (i) C_{1-10} alkyl optionally substituted with carboxyl or C_{1-6} alkanoyloxy; C_{1-6} alkoxy; or carboxyl substituted with 1 to 3 C_{1-6} alkyl substituents;

(ii) C_{3-7} cycloalkyl or C_{5-10} alkylcycloalkyl, both optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl or phenylmethoxycarbonyl;

(iii) C_6 or C_{10} aryl or C_{7-16} aralkyl optionally substituted with C_{1-6} alkyl, hydroxy, or amino optionally substituted with C_{1-6} alkyl; or

(iv) Het optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, or amido optionally substituted with C_{1-6} alkyl,



or ,

R_6, when present, is C_{1-6} alkyl substituted with carboxyl;

R_5, when present, is C_{1-6} alkyl optionally substituted with carboxyl;

and

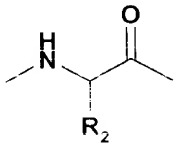
c) when Q is either CH_2 or N-Y, then

R_4 is C_{1-10} alkyl, C_{3-7} cycloalkyl or C_{4-10} (alkylcycloalkyl);

z is oxo or thioxo;

R_3 is C_{1-10} alkyl optionally substituted with carboxyl, C_{3-7} cycloalkyl or C_{4-10} (alkylcycloalkyl);

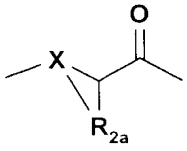
W is a group of formula II:



Formula II

wherein R_2 is C_{1-10} alkyl or C_{3-10} cycloalkyl optionally substituted with carboxyl or an ester or amide thereof; C_6 or C_{10} aryl or C_{7-16} aralkyl; or

W is a group of formula IIa:



Formula IIa

wherein X is CH or N; and

R_{2a} is divalent C_{3-4} alkylene which together with X and the carbon atom to which X and R_{2a} are attached form a 5- or 6-membered ring, said ring optionally substituted with OH; SH; NH_2; carboxyl; R_{12}; CH_2-R_{12}, OR_{12}, $C(O)OR_{12}$, SR_{12}, NHR_{12} or $NR_{12}R_{12a}$;

wherein R_{12} and R_{12a} are independently a saturated or unsaturated C_{3-7} cycloalkyl or C_{4-10} (alkyl cycloalkyl) being optionally mono-, di- or tri-substituted with R_{15},

or R_{12} and R_{12a} is a C_6 or C_{10} aryl or C_{7-16} aralkyl optionally mono-, di- or tri-substituted with R_{15}, or R_{12} and R_{12a} is Het or (lower alkyl)-Het optionally mono-, di- or tri-substituted with R_{15},

wherein each R_{15} is independently C_{1-6} alkyl; C_{1-6} alkoxy; amino optionally

mono- or di-substituted with C_{1-6} alkyl; sulfonyl; NO_2; OH; SH; halo; haloalkyl; amido optionally mono-substituted with C_{1-6} alkyl, C_6 or C_{10} aryl, C_{7-16} aralkyl, Het or (lower alkyl)-Het; carboxyl; carboxy(lower alkyl); C_6 or C_{10} aryl, C_{7-16} aralkyl or Het, said aryl, aralkyl or Het being optionally substituted with R_{16};

wherein R_{16} is C_{1-6} alkyl; C_{1-6} alkoxy; amino optionally mono- or di-substituted with C_{1-6} alkyl; sulfonyl; NO_2; OH; SH; halo; haloalkyl; carboxyl; amide; or (lower alkyl)amide;

or X is CH or N; and R_{2a} is a divalent C_{3-4} alkylene which together with X and the carbon atom to which X and R_{2a} are attached form a 5- or 6-membered ring which in turn is fused with a second 5-, 6- or 7-membered ring to form a bicyclic system wherein the second ring is substituted with OR_{12a}, wherein R_{12a} is C_{7-16} aralkyl;

R_{1a} is hydrogen, and R_1 is the side chain of an amino acid selected from the group consisting of cysteine (Cys), aminobutyric acid (Abu), norvaline (Nva) and allylglycine (AlGly); or

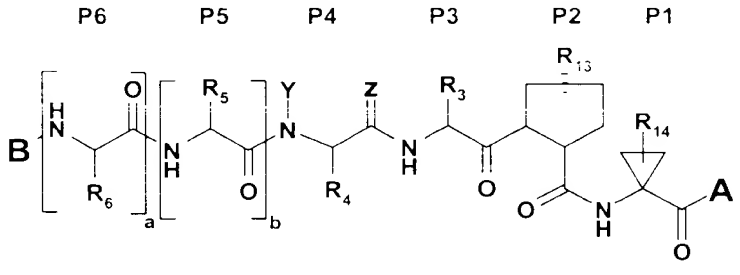
R_{1a} and R_1 together form a 3- to 6-membered ring optionally substituted with R_{14} wherein R_{14} is C_{1-6} alkyl, C_{3-5} cycloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_6 aryl or C_{7-10} aralkyl all optionally substituted with halo; and

A is hydroxy; or C_{1-6} alkylamino, di(C_{1-6} alkyl)amino or phenyl-C_{1-6} alkylamino;

wherein Het is a five-, six-, or seven-membered saturated or unsaturated, including aromatic, heterocycle containing from one to four heteroatoms selected from nitrogen, oxygen and sulfur, which heterocycle is optionally fused to a benzene ring;

or a ~~pharmaceutically acceptable~~ non-toxic salt or ester thereof.

45. (Twice Amended) A compound of formula IB or the ~~racemates~~ diastereoisomers, ~~or~~ optical isomers, racemic mixture of diastereoisomers or racemic mixture of optical isomers thereof:



(IB)

wherein

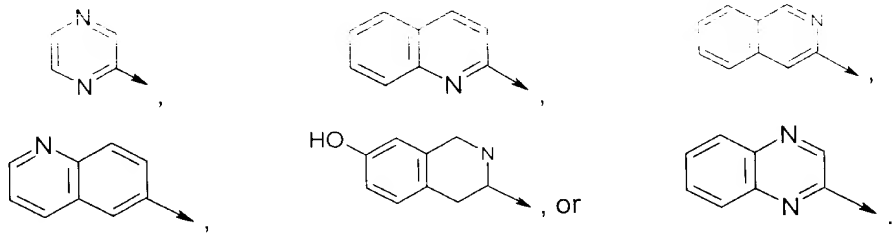
B, a, b, R_6, R_5, Y, R_4, Z, R_3, and A are as defined in claim 1,

R_{13} is R_{12}, OR_{12}, $C(O)OR_{12}$, SR_{12}, NHR_{12} or $NR_{12}R_{12a}$ wherein R_{12} and R_{12a} are as defined in claim 1; and

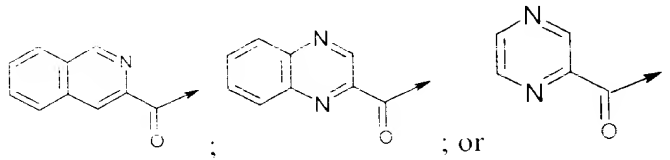
R_{14} is C_{1-6} alkyl, C_{2-6} alkenyl optionally substituted with halogen; C_{6-10} aryl or C_{7-10} aralkyl optionally substituted with halogen; or a ~~pharmaceutically acceptable~~ non-toxic salt or ester thereof.

47. (Amended) The compound of formula IB according to claim ~~46~~45, wherein B is ~~H or~~ an acyl derivative of formula $R_{11}C(O)$- wherein R_{11} is C_{1-6} alkyl; C_{1-6} alkoxy; C_{3-7} cycloalkyl optionally substituted with hydroxy; amido optionally substituted with C_{1-6} alkyl or Het; C_6 or C_{10} aryl, C_{7-16} aralkyl or Het all optionally substituted with C_{1-6} alkyl or hydroxy.

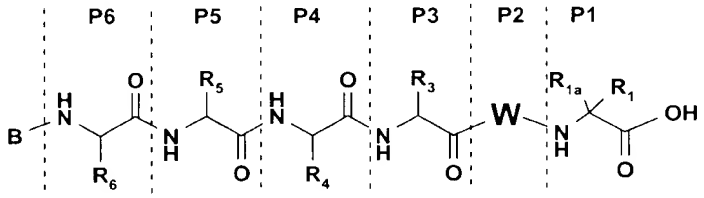
48. (Amended) The compound of formula IB according to claim 47, wherein B is ~~H or~~ $R_{11}C(O)$- wherein R_{11} is C_{1-6} alkyl,



49. (Amended) The compound of formula IB according to claim 48, wherein B is ~~H~~ acetyl;



72. (Amended) A compound of formula (I):



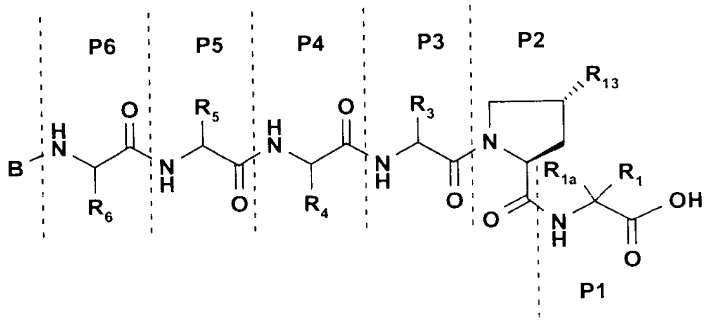
(I)

wherein B, P6, P5, P4, P3, W and P1 are as defined below, said compound selected from the group consisting of:

Comp	B	P6	P5	P4	P3	W	P1	SEQ ID NO.
101	Ac	Asp	Asp	Ile	Val	Pro	Cys;	8
102	Ac	Glu	Asp	Ile	Val	Pro	Cys;	9
103	DAD	---	Asp	Ile	Val	Pro	Cys;	10
104	Ac	Asp	D-Asp	Ile	Val	Pro	Cys:	-
105	Ac	Asp	D-Glu	Ile	Val	Pro	Cys;	-
106	Ac	Asp	Glu	Ile	Val	Pro	Cys;	11
107	Ac	Asp	Val	Ile	Val	Pro	Cys;	12
108	Ac	Asp	Tbg	Ile	Val	Pro	Cys;	13
109	Ac	Asp	Asp	Val	Val	Pro	Cys;	14
110	Ac	Asp	Asp	Chg	Val	Pro	Cys;	15
111	Ac	Asp	Asp	Tbg	Val	Pro	Cys;	16
112	Ac	Asp	Asp	Leu	Val	Pro	Cys;	17
113	Ac	Asp	Asp	Ile	Ile	Pro	Cys;	18
114	Ac	Asp	Asp	Ile	Chg	Pro	Cys;	19
115	Ac	Asp	Asp	Ile	Val	Abu	Cys;	20
116	Ac	Asp	Asp	Ile	Val	Leu	Cys;	21
117	Ac	Asp	Asp	Ile	Val	Phe	Cys;	22
118	Ac	Asp	Asp	Ile	Val	Val	Cys;	23
119	Ac	Asp	Asp	Ile	Val	Ile	Cys;	24
120	Ac	Asp	Asp	Ile	Val	Ala	Cys;	25
121	Ac	Asp	Asp	Ile	Val	Hyp(4-Bn)	Cys;	26
122	Ac	Asp	Asp	Ile	Val	Pro	Abu;	27
123	Ac	Asp	Asp	Ile	Val	Pro	Nva;	28

Comp	B	P6	P5	P4	P3	W	P1	SEQ ID NO.
124	Ac	Asp	Asp	Ile	Val	Pro	AlGly;	29
125	Ac	Asp	Asp	Ile	Val	Pro	Acpe;	30
126	Ac	Asp	Asp	Ile	Val	Pro	Acca;	31
127	Ac	Asp	Asp	Ile	Val	Pip	Nva;	32
128	Ac	Asp	D-Glu	Ile	Val	Pro	Nva;	"
129	Ac	Asp	Tbg	Ile	Val	Pro	Nva;	33
130	DAD	---	Asp	Ile	Val	Pro	Nva;	34
131	Ac	Asp	Glu	Chg	Glu	Glu	Cys;	35
132	Ac	Asp	D-Glu	Chg	Glu	Glu	Acca;	"
and								36
133	Ac	Asp	Glu	Chg	Val	Glu(OBn)	Acca.	

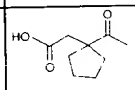
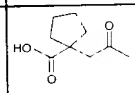
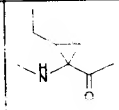
73. (Amended) A compound of formula (I):

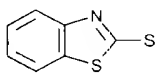
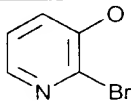
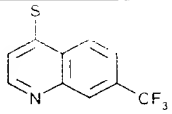
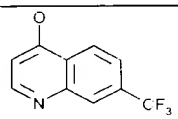
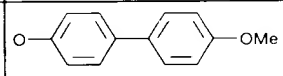
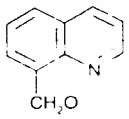
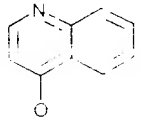
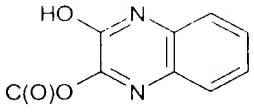


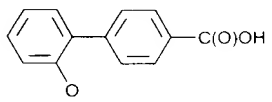
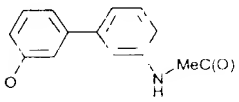
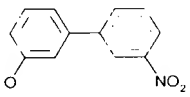
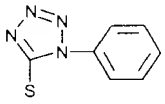
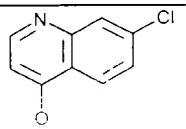
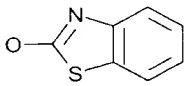
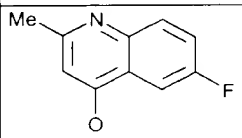
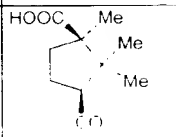
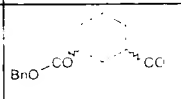
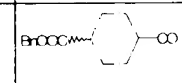
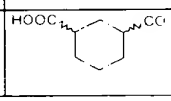
(I)

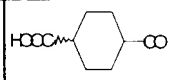
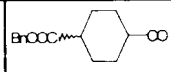
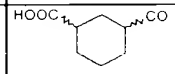
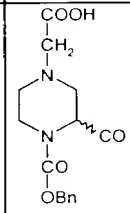
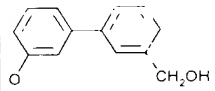
wherein B, P6, P5, P4, P3, R_{13} and P1 are as defined below, said compound selected from the group consisting of:

Comp.	B	P6	P5	P4	P3	R_{13}	P1	SEQ ID NO.
201	Ac	Asp	Asp	Ile	Val	O-Bn	Nva:	37
202	Ac	Asp	D-Val	Ile	Val	O-Bn	Nva;	"
203	Ac	Asp	D-Glu	Ile	Val	O-Bn	Nva;	"
204	Ac	Asp	Asp	Ile	Val	o-tolyl-methoxy	Nva;	38
205	Ac	Asp	Asp	Ile	Val	m-tolyl-methoxy	Nva;	39
206	Ac	Asp	Asp	Ile	Val	p-tolyl-methoxy	Nva;	40
207	Ac	Asp	Asp	Ile	Val	1-NpCH_2O	Nva;	41

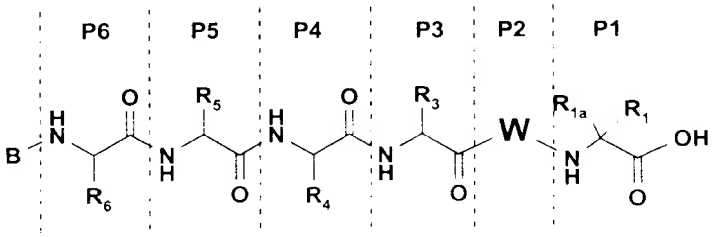
Comp.	B	P6	P5	P4	P3	R_{13}	P1	SEQ ID NO.
208	Ac	Asp	Asp	Ile	Val	2-NpCH_2O	Nva;	42
209	Ac	Asp	Asp	Ile	Val	4-tert-butyl-phenyl)-methoxy	Nva;	43
210	Ac	Asp	D-Glu	Chg	Val	O-Bn	Cys;	-
211	Ac	Asp	D-Glu	Chg	Val	O-Bn	Nva;	-
212	Ac	Asp	D-Glu	Ile	Val	O-Bn	Acca;	-
213	Ac	Asp	D-Glu	Ile	Val	2-NpCH_2O	Nva;	-
214	Ac	Asp	D-Glu	Chg	Val	2-NpCH_2O	Nva;	-
215	Ac	Asp	D-Glu	Chg	Val	1-NpCH_2O	Acca;	-
216	Ac	Asp	Asp	Ile	Val	Bn	Nva;	44
217	Ac	Asp	Asp	Ile	Val	Ph$(CH_2)_3$	Nva;	45
218	Ac	Asp	D-Glu	Ile	Val	O-Bn	Nva;	-
219	Ac	---	Asp	Ile	Val	1-NpCH_2O	Nva;	46
220	DAD	---	---	N(Me)Ile	Val	1-NpCH_2O	Nva;	-
221	DAD	---	---	Ile	Val	1-NpCH_2O	Nva;	-
222	DAE	---	---	Ile	Val	1-NpCH_2O	Nva;	-
223		---	---	Ile	Val	1-NpCH_2O	Nva;	-
224		---	---	Ile	Val	1-NpCH_2O	Nva;	-
225	Ac	---	---	Ile	Val	1-NpCH_2O	Nva;	-
226	DAE	---	---	Chg	Val	1-NpCH_2O	Acca;	-
227	Ac	---	---	Chg	Val	1-NpCH_2O	Acca;	-
228	Ac	---	---	Chg	Val	O-Bn		-
230	Ac	Asp	Asp	Ile	Val	Ph$(CH_2)_3$	Nva;	47
231	Ac	---	---	Chg	Chg	1-NpCH_2O	Acca;	-
232	AcOCH_2-C(O)	---	---	Chg	Chg	1-NpCH_2O	Acca;	-
233	Ac	Asp	Glu	Ile	Val	(3I-Ph) CH_2O	Acca;	48
234	Ac	---	---	Chg	Chg	O-Bn	Acca;	-

Comp.	B	P6	P5	P4	P3	R_{13}	P1	SEQ ID NO.
235	Boc	---	---	Chg	Chg	1-NpCH_2O	Acca;	-
236	Ac	---	Gly	thioxo-Ile	Val	1-NpCH_2O	Nva;	-
237	DAE	---	---	Ile	Val	1-NpCH_2O	Acca;	-
238	Ac	---	---	Chg	Val	(4Br-Ph)O	Acca;	-
239	Ac	---	---	Chg	Val	(2Br-Ph)O	Acca;	-
240	Ac	---	---	Chg	Val	(3Br-Ph)O	Acca;	-
241	Ac	---	---	Chg	Val		Acca;	-
242	Ac	---	---	Chg	Val	(4Br-Ph)S	Acca;	-
243	Ac	---	---	Chg	Val		Acca;	-
244	Ac	---	---	Chg	Val		Acca;	-
245	Ac	---	---	Chg	Val		Acca;	-
246	Ac	---	---	Chg	Val		Acca;	-
247	Ac	Asp	Asp	Ile	Val	$Ph(CH_2)_2$	Nva;	49
248	Ac	---	---	Chg	Chg		Acca;	-
249	Ac	---	---	Chg	Val	(4I-Ph)O	Acca;	-
250	Ac	---	---	Chg	Val		Acca;	-
251	Ac	---	---	Chg	Val		Acca;	-
252	Ac	---	---	Chg	Val	1-NpCH_2O	Nva;	-

Comp.	B	P6	P5	P4	P3	R_{13}	P1	SEQ ID NO.
253	Ac	---	---	Chg	Val		Acca;	=
254	Ac	---	---	Chg	Val		Acca;	=
255	Ac	---	---	Chg	Val		Acca;	=
256	Ac	---	---	Chg	Val		Acca;	=
257	Ac	---	---	Chg	Val		Acca;	=
258	Ac	---	---	Chg	Val		Acca;	=
259	Ac	---	---	Chg	Val		Acca;	=
260	Ac	Asp	D-Glu	Ile	Val	O-Bn	Cys;	=
261	Ac	---	---	Chg	Val	O-Bn	Cys;	=
262	Ac	---	---	Ile	Val	1-NpCH$_2$O	Acca;	=
263		---	---	Ile	Val	1-NpCH$_2$O	Acca;	=
264		---	---	Ile	Val	1-NpCH$_2$O	Acca;	=
265		---	---	Ile	Val	1-NpCH$_2$O	Acca;	=
266		---	---	Ile	Val	1-NpCH$_2$O	Acca;	=

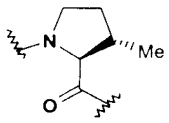
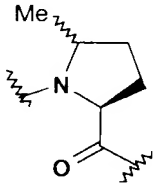
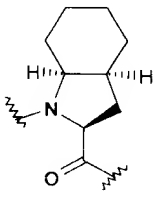
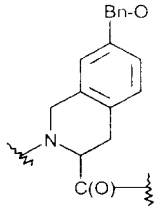
Comp.	B	P6	P5	P4	P3	R_{13}	P1	SEQ ID NO.
267		---	---	Ile	Val	1-NpCH_2O	Acca;	=
268	Ac	---	---	Chg	Val	(3Br-Ph)CH_2O	Acca;	=
269		---	---	Chg	Val	1-NpCH_2O	Acca;	=
270		---	---	Chg	Val	1-NpCH_2O	Acca;	=
271		---	---	Chg	Val	1-NpCH_2O	Acca;	=
272	Ac	---	---	Chg	Val	(3,5-Br_2-Ph)CH_2O	Acca;	=
273	Ac	Asp	Asp	Ile	Val	H	Nva;	50
274	Ac	Asp	D-Val	Ile	Val	H	Cys;	=
and 275	Ac	---	---	Chg	Val		Acca.	=

74. (Amended) A compound of formula (I):

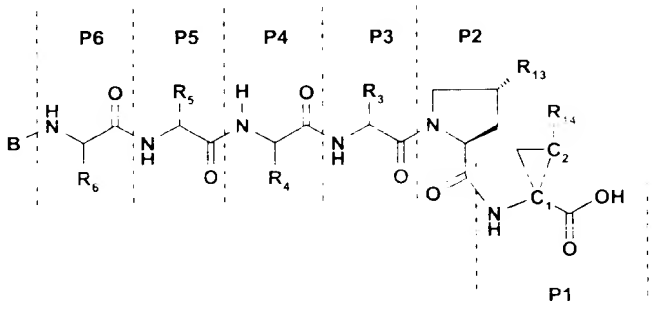


(I)

wherein B, P6, P5, P4, P3, W and P1 are as defined below, said compound selected from the group consisting of:

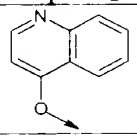
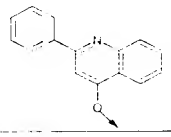
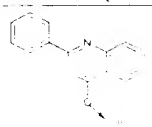
Comp	B	P6	P5	P4	P3	W	P1	SEQ ID NO.
301	Ac	Asp	Asp	Ile	Val		Nva;	51
302	Ac	Asp	Asp	Ile	Val		Nva;	52
303	Ac	Asp	Asp	Ile	Val		Nva;	53
and								
304	Ac	---	---	Chg	Val		Acca.	

76. (Amended) A compound of formula (I):



(I)

wherein B, P6, P5, P4, P3, R_{13}, R_{14} and P1 are as defined below, said compound selected from the group consisting of:

Tab. 5 Cpd	B	P6	P5	P4	P3	R_{13}	R_{14}	P1 $C_1 - C_2$
501	Ac	---	---	Chg	Val	OBn	Et	*1R, 2R*
502	Ac	---	---	Chg	Val	OBn	Et	*1R, 2?*
503	Ac	---	---	Chg	Chg	1-NpCH$_2$O	Et	*1R, 2?*
504	Ac	---	---	Chg	Chg	1-NpCH$_2$O	Et	*1R, 2?*
505	Ac	---	---	Chg	Chg	1-NpCH$_2$O	Et	*1R, 2R*
506	Ac	---	---	Chg	Chg	1-NpCH$_2$O	Et	*1S, 2S*
507	Ac	---	---	Chg	Val	1-NpCH$_2$O	Me	*1R, 2?*
508	Ac	---	---	Chg	Val	1-NpCH$_2$O	CHMe$_2$	*1R, 2?*
509	Ac	Asp	D-Glu	Chg	Chg	1-NpCH$_2$O	Et	*1R, 2R*
510	Ac	---	---	Chg	Val	1-NpCH$_2$O	CH$_2$O CH$_2$Ph	*1R, 2?*
511	Ac	---	---	Chg	Val	1-NpCH$_2$O	CH$_2$O CH$_2$Ph	*1R, 2?*
512	Ac	---	---	Chg	Val	1-NpCH$_2$O	(CH$_2$)$_2$ Ph	*1R, 2?*
513	Ac	---	---	Chg	Val	1-NpCH$_2$O	Et	*1R,2R*
514	Ac	---	---	Chg	Val	1-NpCH$_2$O	Et	*1S,2S*
515	Ac	---	---	Chg	Val	1-NpCH$_2$O	Bz	*1R, 2?*
516	Ac	---	---	Chg	Val	1-NpCH$_2$O	Bz	*1R, 2?*
517	Ac	Asp	D-Glu	Ile	Val	OBn	Et	*1R,2R*
518	Ac	Asp	D-Glu	Chg	Val	1-NpCH$_2$O	Et	*1R,2R*
519	Ac	---	---	Chg	Val	1-NpCH$_2$O	Pr	*1R, 2?*
520	Ac	---	---	Chg	Val	1-NpCH$_2$O	Pr	*1R, 2?*
521	Ac	Asp	D-Val	Chg	Val	1-NpCH$_2$O	Et	*1R,2R*
522	Ac	---	---	Chg	Val		vinyl	*1S,2R*
523	Ac	---	---	Chg	Val		ethyl	*1R,2S*
524	Ac	---	---	Chg	Val		propyl	*1R, 2R*